

RECEIVED  
CENTRAL FAX CENTER

FEB 10 2006

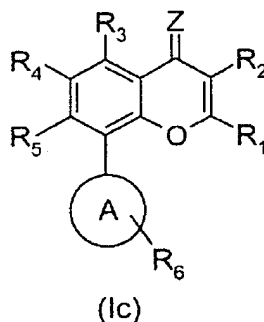
Confirm. No. 4710  
516745-2001.1

### AMENDMENTS TO THE CLAIMS

Please amend the claims without prejudice, without admission, without surrender of subject matter, and without any intention of creating any estoppel as to equivalents, as follows

Claim 1 (previously presented)

1. A compound of general formula (Ic), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof



wherein

R<sub>1</sub> is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 5 or 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen, sulfur, and phosphorus, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, -C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl ;

R<sub>2</sub> is hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl, OR<sub>11</sub>, halogen, cyano, nitro, NR<sub>9</sub>R<sub>10</sub> or SR<sub>11</sub>;

Confirm. No. 4710

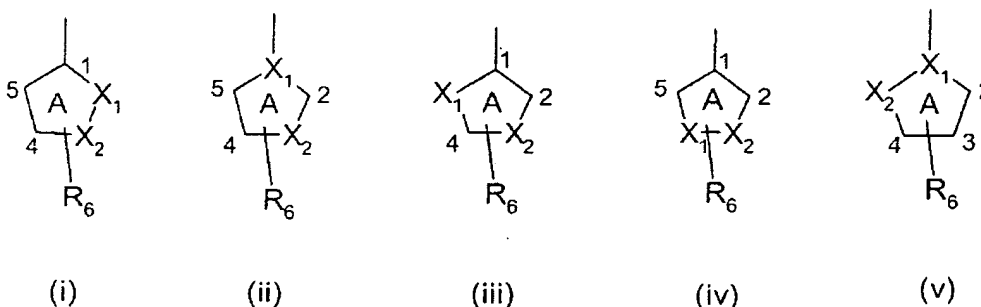
516745-2001.1

$R_3$ ,  $R_4$  and  $R_5$  are each independently selected from: hydrogen,  $C_1$ - $C_4$ -alkyl, halogen,  $OR_{11}$ ,  $C_1$ - $C_4$ -alkylcarbonyloxy,  $NR_9R_{10}$ ,  $SO_2NR_9R_{10}$ , carboxyl, cyano and nitro;

Z is O or S;

A is a 5- or 6- membered ring; wherein:

(I) the 5-membered ring is saturated or unsaturated and represented by any one of the general structures (i) to (v);

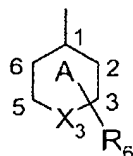


wherein  $X_1$  and  $X_2$  are each independently selected from: a carbon atom and a heteroatom selected from: oxygen, sulfur, and nitrogen, provided that at least one of  $X_1$  and  $X_2$  is a heteroatom, and when  $X_1$  or  $X_2$  is a nitrogen atom, it is at least monosubstituted by  $R_{13}$ , wherein  $R_{13}$  is selected from: hydrogen, unsubstituted  $C_1$ - $C_6$ -alkyl, or  $C_1$ - $C_6$ -alkyl substituted by halogen, hydroxyl or carboxyl,  $C_2$ - $C_6$ -alkenyl, hydroxyl,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_4$ -alkylcarbonyl, toluenesulfonyl, cyano,  $SO_2R_{10}$ ,  $-CO(CH_2)_mR_{14}$  and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy, nitro,  $NR_9R_{10}$ ,  $SR_{11}$ , trifluoromethyl, hydroxyl, cyano, carboxy,  $C_1$ - $C_4$ -alkoxycarbonyl and  $-C_1$ - $C_4$ -alkylenehydroxyl; and

$R_6$  is  $-C_1$ - $C_4$ -alkylene $OR_{11}$ ;

(II) the 6-membered ring is saturated and of the general structure (vi):

Confirm. No. 4710  
516745-2001.1



(vi)

wherein  $X_3$  is an oxygen atom, a sulfur atom, or a nitrogen atom, and when  $X_3$  is nitrogen atom, it is at least monosubstituted by  $R_{13}$ , wherein  $R_{13}$  is selected from: hydrogen, unsubstituted  $C_1$ - $C_6$ -alkyl, or  $C_1$ - $C_6$ -alkyl substituted by halogen, hydroxyl, or carboxyl,  $C_2$ - $C_6$ -alkenyl, hydroxyl,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_4$ -alkylcarbonyl, toluenesulfonyl, cyano,  $SO_2R_{10}$ ,  $-CO(CH_2)_mR_{14}$  and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy, nitro,  $NR_9R_{10}$ ,  $SR_{11}$ , trifluoromethyl, hydroxyl, cyano, carboxy,  $C_1$ - $C_4$ -alkoxycarbonyl and  $-C_1$ - $C_4$ -alkylenehydroxyl;

$R_6$  is  $-C_1$ - $C_4$ -alkylene $OR_{11}$  ;

$R_9$  and  $R_{10}$  are each independently selected from: hydrogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkanoyl,  $C_1$ - $C_4$ -alkoxycarbonyl,  $C_1$ - $C_4$ -alkylcarbonyl, carboxamide and sulfonamide;

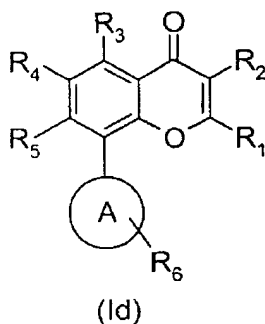
$R_{11}$  is hydrogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkanoyl, or  $C_1$ - $C_4$ -alkoxycarbonyl;

$R_{14}$  is hydrogen,  $C_1$ - $C_4$ -alkyl, hydroxyl,  $-NR_9R_{10}$ , halogen,  $-SH$ , or  $-S-C_1$ - $C_4$ -alkyl; and  $m$  is an integer of 0 to 6.

Claim 2 (previously presented)

2. A compound of the general formula (Id), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof

Confirm. No. 4'10  
516745-2001.1



wherein

R<sub>1</sub> is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 5 or 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen, sulfur, and phosphorus, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl;

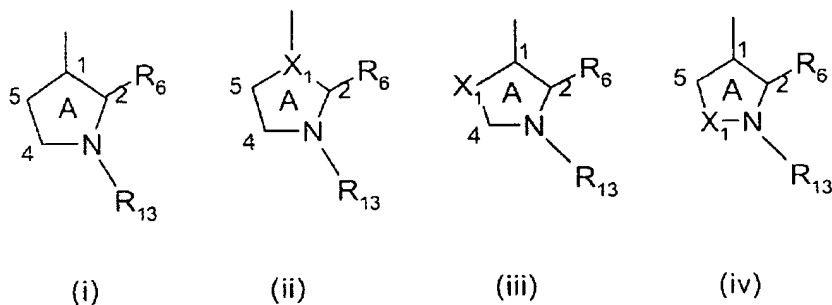
R<sub>2</sub> is hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl, OR<sub>11</sub>, halogen, cyano, nitro, NR<sub>9</sub>R<sub>10</sub> or SR<sub>11</sub>;

R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub> are each independently selected from: hydrogen, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxyl, halogen, OR<sub>11</sub>, C<sub>1</sub>-C<sub>4</sub>alkylcarbonyloxy, NR<sub>9</sub>R<sub>10</sub>, SO<sub>2</sub>NR<sub>9</sub>R<sub>10</sub>, carboxy, cyano and nitro;

A is a 5- or 6- membered ring; wherein:

(1) the 5-membered ring is saturated or unsaturated and represented by any one of the general structures (i) to (iv);

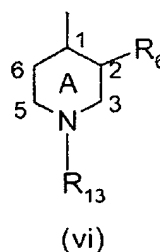
Confirm. No. 4710  
516745-2001.1



wherein  $X_1$  is either a carbon atom or a heteroatom selected from: oxygen, sulfur, and nitrogen, except that in structures (ii) and (iv)  $X_1$  is either a carbon atom or a nitrogen atom, and wherein  $R_{13}$  is selected from: hydrogen, unsubstituted  $C_1$ - $C_6$ -alkyl, or  $C_1$ - $C_6$ -alkyl substituted by halogen, hydroxyl or carboxyl,  $C_2$ - $C_6$ -alkenyl, hydroxyl,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_4$ -alkylcarbonyl, toluenesulfonyl, cyano,  $SO_2R_{10}$  and  $-CO(CH_2)_mR_{14}$ , phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy, nitro,  $NR_9R_{10}$ ,  $SR_{11}$ , trifluoromethyl, hydroxyl, cyano, carboxy,  $C_1$ - $C_4$ -alkoxycarbonyl and  $-C_1$ - $C_4$ -alkylenehydroxyl;

$R_6$  is  $-C_1$ - $C_4$ -alkylene $OR_{11}$ ;

(II) the 6-membered ring is saturated and represented by the general structure (vi):



wherein  $R_{13}$  is selected from: hydrogen, unsubstituted  $C_1$ - $C_6$ -alkyl, or  $C_1$ - $C_6$ -alkyl substituted by halogen, hydroxyl, or carboxyl,  $C_2$ - $C_6$ -alkenyl, hydroxyl,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_4$ -alkylcarbonyl, toluenesulfonyl, cyano,  $SO_2R_{10}$ ,  $-CO(CH_2)_mR_{14}$ , and phenyl, which is unsubstituted or

Confirm. No. 4710  
516745-2011.1

substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl;

R<sub>6</sub> is -C<sub>1</sub>-C<sub>4</sub>-alkyleneOR<sub>11</sub>;

R<sub>9</sub> and R<sub>10</sub> are each independently selected from: hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkanoyl, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl, carboxamide and sulfonamide;

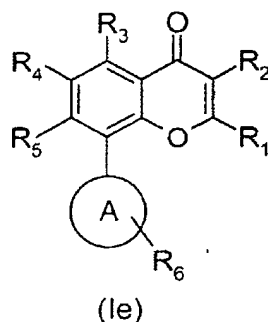
R<sub>11</sub> is hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkanoyl, or C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl;

R<sub>14</sub> is hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxyl, -NR<sub>9</sub>R<sub>10</sub>, halogen, -SH, or -S-C<sub>1</sub>-C<sub>4</sub>-alkyl; and

m is an integer of 0 to 6.

Claim 3 (previously presented)

3. A compound of the general formula (Ie), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof



wherein

R<sub>1</sub> is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl, or is a

Confirm. No. 4710  
516745-20(1.1)

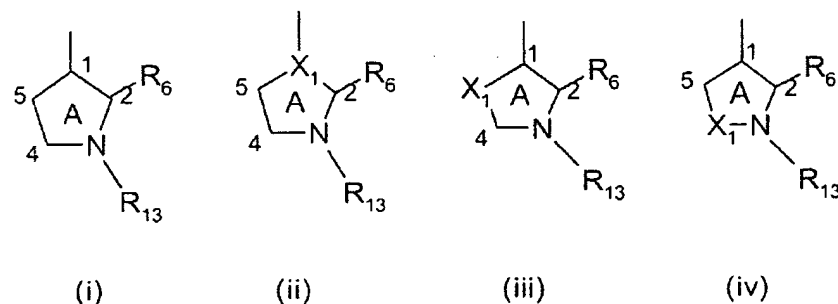
heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen and sulfur, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl;

R<sub>2</sub> and R<sub>4</sub> are hydrogen;

R<sub>3</sub> and R<sub>5</sub> are each independently selected from: hydroxyl, C<sub>1</sub>-C<sub>4</sub>-alkoxyl and C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyloxy;

A is a 5- or 6- membered ring; wherein:

(I) the 5-membered ring is saturated or unsaturated and represented by any one of the general structures (i) to (iv);

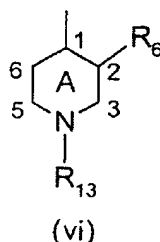


wherein X<sub>1</sub> is either a carbon atom or a heteroatom selected from: oxygen, sulfur, and nitrogen, except that in structures (ii) and (iv) X<sub>1</sub> is either a carbon atom or a nitrogen atom, and wherein R<sub>13</sub> is selected from: hydrogen, unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl, or C<sub>1</sub>-C<sub>6</sub>-alkyl substituted by halogen, hydroxyl, or carboxyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, hydroxyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl, toluenesulfonyl, cyano, SO<sub>2</sub>R<sub>10</sub>, -CO(CH<sub>2</sub>)<sub>m</sub>R<sub>14</sub> and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl;

Confirm. No. 4'10  
516745-2001.1

$R_6$  is  $-C_1-C_4\text{alkyleneOR}_{11}$  ;

(II) the 6-membered ring is saturated and of the general structure (vi):



wherein  $R_{13}$  is selected from: hydrogen, unsubstituted  $C_1-C_6$ -alkyl, or  $C_1-C_6$ -alkyl substituted by halogen, hydroxyl, or carboxyl,  $C_2-C_6$ -alkenyl, hydroxyl,  $C_1-C_6$ -alkoxy,  $C_1-C_4$ -alkylcarbonyl, toluenesulfonyl, cyano,  $SO_2R_{10}$ ,  $-CO(CH_2)_mR_{14}$ , and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen,  $C_1-C_4$ -alkyl,  $C_1-C_4$ -alkoxy, nitro,  $NR_9R_{10}$ ,  $SR_{11}$ , trifluoromethyl, hydroxyl, cyano, carboxy,  $C_1-C_4$ -alkoxycarbonyl and  $-C_1-C_4$ -alkylenehydroxyl;

$R_6$  is  $-C_1-C_4\text{alkyleneOR}_{11}$  ;

$R_9$  and  $R_{10}$  are each independently selected from: hydrogen,  $C_1-C_4$ -alkyl,  $C_1-C_4$ -alkanoyl,  $C_1-C_4$ -alkoxycarbonyl,  $C_1-C_4$ -alkylcarbonyl, carboxamide and sulfonamide;

$R_{11}$  is hydrogen,  $C_1-C_4$ -alkyl,  $C_1-C_4$ -alkanoyl, or  $C_1-C_4$ -alkoxycarbonyl;

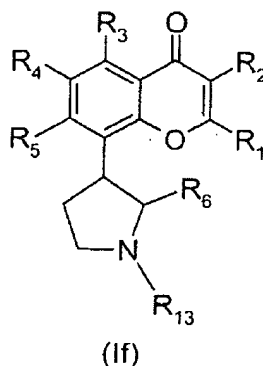
$R_{14}$  is hydrogen,  $C_1-C_4$ -alkyl, hydroxyl,  $-NR_9R_{10}$ , halogen,  $-SH$ , or  $-S-C_1-C_4\text{alkyl}$ ; and  $m$  is an integer of 0 to 6.

Claim 4 (previously presented)

4. A compound of the general formula (If), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof



Confirm. No. 4710  
516745-2001.1



wherein

R<sub>1</sub> is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen and sulfur, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl;

R<sub>2</sub> and R<sub>4</sub> are hydrogen;

R<sub>3</sub> and R<sub>5</sub> are each independently selected from: hydroxyl, C<sub>1</sub>-C<sub>4</sub>alkoxyl and C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyloxy;

R<sub>6</sub> is -C<sub>1</sub>-C<sub>4</sub>-alkyleneOR<sub>11</sub>;

R<sub>9</sub> and R<sub>10</sub> are each independently selected from: hydrogen, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkanoyl, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl, C<sub>1</sub>-C<sub>4</sub>alkylcarbonyl, carboxamide and sulfonamide;

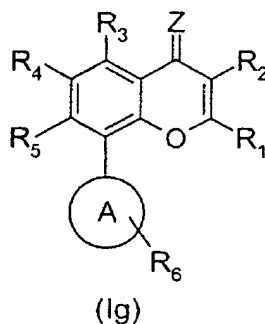
R<sub>11</sub> is hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkanoyl, or C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl; and

Confirm. No. 4710  
516745-2001.1

R<sub>13</sub> is hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl.

Claim 5 (withdrawn)

5. A compound of the general formula (Ig), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof



wherein

R<sub>1</sub> is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 5 or 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen, sulfur and phosphorus, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl;

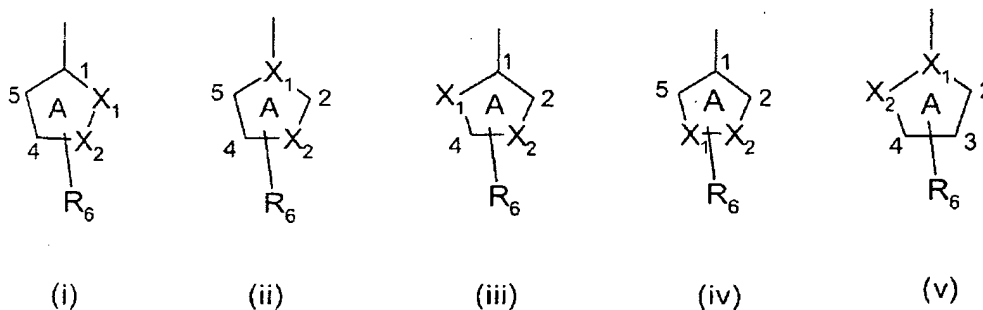
R<sub>2</sub> is hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl, OR<sub>11</sub>, halogen, cyano, nitro, NR<sub>9</sub>R<sub>10</sub> or SR<sub>11</sub>;

Confirm. No. 4710  
516745-2001.1

$R_3$ ,  $R_4$  and  $R_5$  are each independently selected from: hydrogen,  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxy, halogen,  $OR_{11}$ ,  $C_1$ - $C_4$  alkylcarbonyloxy,  $NR_9R_{10}$ ,  $SO_2NR_9R_{10}$ , carboxyl, cyano and nitro;

Z is O or S;

A is a 5-membered saturated ring represented by any one of the general structures (i) to (v);



wherein  $X_1$  and  $X_2$  independently represent a carbon atom and a nitrogen atom provided that at least one of  $X_1$  and  $X_2$  is a nitrogen atom and wherein the nitrogen atom is at least monosubstituted by  $R_{13}$ , wherein  $R_{13}$  is selected from: hydrogen, unsubstituted  $C_1$ - $C_6$  alkyl, or  $C_1$ - $C_6$  alkyl substituted by halogen, hydroxyl, or carboxyl,  $C_2$ - $C_6$  alkenyl, hydroxyl,  $C_1$ - $C_6$  alkoxy,  $C_1$ - $C_4$  alkylcarbonyl, toluenesulfonyl,  $SO_2R_{10}$ ,  $-CO(CH_2)_mR_{14}$ , cyano, phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen,  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxy, nitro,  $NR_9R_{10}$ ,  $SR_{11}$ , trifluoromethyl, hydroxyl, cyano, carboxy,  $C_1$ - $C_4$  alkoxy carbonyl and  $-C_1$ - $C_4$  alkylenehydroxyl;

$R_6$  is  $C_1$ - $C_4$  alkyl,  $-C_1$ - $C_4$  alkanoyl, hydroxyl,  $C_1$ - $C_4$  alkoxy,  $-C_1$ - $C_4$  alkoxy carbonyl,  $-C_1$ - $C_4$  alkylene $OR_{11}$ ,  $-C_1$ - $C_4$  alkylenehalo,  $-C_1$ - $C_4$  alkylene $NR_9R_{10}$ ,  $-C_1$ - $C_4$  alkylene $C(O)OR_9$ , phenoxy,  $-NR_9R_{10}$ ,  $SR_{12}$ ,  $S(O)_nR_{12}$ ,  $-C(O)R_{12}$  or  $-C(S)R_{12}$ ;

$R_9$  and  $R_{10}$  are each independently selected from: hydrogen,  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkanoyl,  $C_1$ - $C_4$  alkoxy carbonyl,  $C_1$ - $C_4$  alkylcarbonyl, carboxamide and sulfonamide;

Confirm. No. 4710  
516745-2011.1

$R_{11}$  is hydrogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkanoyl, or  $C_1$ - $C_4$ -alkoxycarbonyl;

$R_{12}$  is hydrogen, halogen,  $C_1$ - $C_4$ -alkyl,  $-NR_9R_{10}$ , or  $OR_9$ ;

$R_{14}$  is hydrogen,  $C_1$ - $C_4$ -alkyl, hydroxyl,  $-NR_9R_{10}$ , halogen,  $-SH$ , or  $-S-C_1$ - $C_4$ -alkyl;

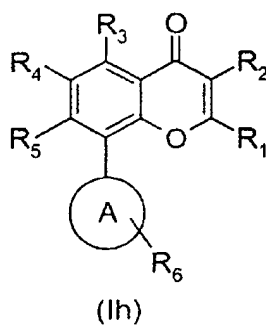
$m$  is an integer of 0 to 6; and

$n$  is an integer of 1 or 2.

Claim 6 (cancelled).

Claim 7 (withdrawn)

7. A compound of general formula (Ih), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof



wherein

$R_1$  is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy, nitro,  $NR_9R_{10}$ ,  $SR_{11}$ , trifluoromethyl, hydroxyl, cyano, carboxy,  $C_1$ - $C_4$ alkoxycarbonyl and  $-C_1$ - $C_4$ -alkylenhydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen and

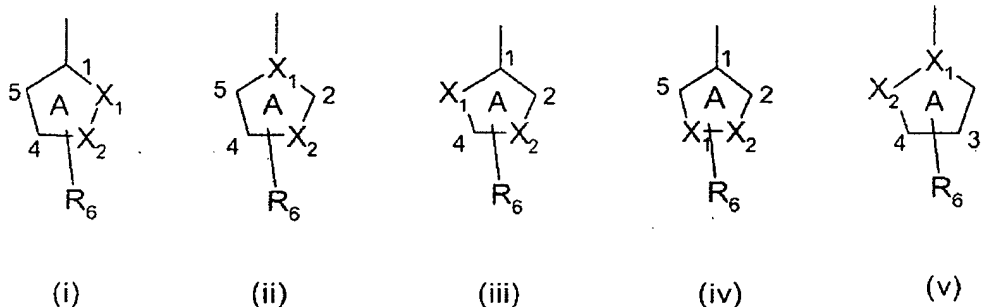
Confirm. No. 4710  
516745-2001.1

sulfur, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl;

R<sub>2</sub> and R<sub>4</sub> are hydrogen;

R<sub>3</sub> and R<sub>5</sub> are each independently selected from: hydroxyl, C<sub>1</sub>-C<sub>4</sub>-alkoxyl and C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyloxy;

A is a 5-membered saturated ring represented by any one of the general structures (i) to (v);



wherein X<sub>1</sub> and X<sub>2</sub> independently represent a carbon atom and a nitrogen atom, provided that at least one of X<sub>1</sub> and X<sub>2</sub> is a nitrogen atom and wherein the nitrogen atom is at least monosubstituted by R<sub>13</sub>, wherein R<sub>13</sub> is selected from: hydrogen, unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl, or C<sub>1</sub>-C<sub>6</sub>-alkyl substituted by halogen, hydroxyl, or carboxyl, C<sub>2</sub>-C<sub>6</sub>-alkenyl, hydroxyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl, toluenesulfonyl, cyano, SO<sub>2</sub>R<sub>10</sub>, -CO(CH<sub>2</sub>)<sub>m</sub>R<sub>14</sub> and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, nitro, NR<sub>9</sub>R<sub>10</sub>, SR<sub>11</sub>, trifluoromethyl, hydroxyl, cyano, carboxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl and -C<sub>1</sub>-C<sub>4</sub>-alkylenehydroxyl;

Confirm. No. 4710  
516745-2001.1

$R_6$  is  $C_1$ - $C_4$ .alkyl,  $-C_1$ - $C_4$ .alkanoyl, hydroxyl,  $C_1$ - $C_4$ .alkoxyl,  $-C_1$ - $C_4$ .alkoxycarbonyl,  $-C_1$ - $C_4$ .alkyleneOR<sub>11</sub>,  $-C_1$ - $C_4$ .alkylenehalo,  $-C_1$ - $C_4$ .alkyleneNR<sub>9</sub>R<sub>10</sub>,  $-C_1$ - $C_4$ .alkyleneC(O)OR<sub>9</sub>, phenoxy,  $-NR_9R_{10}$ , SR<sub>12</sub>, S(O)<sub>n</sub>R<sub>12</sub>,  $-C(O)R_{12}$  or  $-C(S)R_{12}$ .

$R_9$  and  $R_{10}$  are each independently selected from: hydrogen,  $C_1$ - $C_4$ .alkyl,  $C_1$ - $C_4$ .alkanoyl,  $C_1$ - $C_4$ .alkoxycarbonyl,  $C_1$ - $C_4$ .alkylcarbonyl, carboxamide and sulfonamide;

$R_{11}$  is hydrogen,  $C_1$ - $C_4$ .alkyl,  $C_1$ - $C_4$ .alkanoyl or  $C_1$ - $C_4$ .alkoxycarbonyl;

$R_{12}$  is hydrogen, halogen,  $C_1$ - $C_4$ .alkyl,  $-NR_9R_{10}$ , or OR<sub>9</sub>;

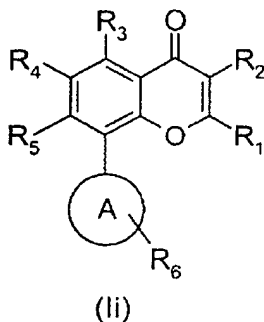
$R_{14}$  is hydrogen,  $C_1$ - $C_4$ .alkyl, hydroxyl,  $-NR_9R_{10}$ , halogen,  $-SH$ , or  $-S-C_1$ - $C_4$ .alkyl;

$m$  is an integer of 0 to 6; and

$n$  is an integer of 1 or 2.

Claim 8 (withdrawn)

8. A compound of general formula (li), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof



wherein

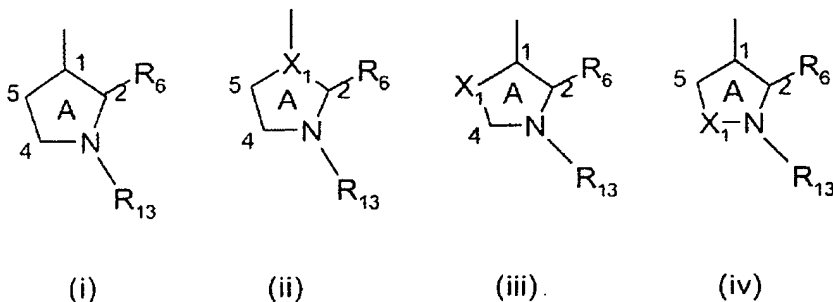
Confirm. No. 4710  
516745-20C1.1

$R_1$  is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy, nitro,  $NR_9R_{10}$ ,  $SR_{11}$ , trifluoromethyl, hydroxyl, cyano, carboxy,  $C_1$ - $C_4$ alkoxycarbonyl and  $-C_1$ - $C_4$ -alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen and sulfur, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy, nitro,  $NR_9R_{10}$ ,  $SR_{11}$ , trifluoromethyl, hydroxyl, cyano, carboxy,  $C_1$ - $C_4$ alkoxycarbonyl and  $-C_1$ - $C_4$ -alkylenehydroxyl;

$R_2$  and  $R_4$  are hydrogen;

$R_3$  and  $R_5$  are each independently selected from: hydroxyl,  $C_1$ - $C_4$ -alkoxyl and  $C_1$ - $C_4$ -alkylcarbonyloxy;

A is a 5-membered saturated ring represented by any one of the general structures (i) to (iv);



wherein  $X_1$  is a carbon atom or and wherein  $R_{13}$  is selected from: hydrogen, unsubstituted  $C_1$ - $C_6$ -alkyl, or  $C_1$ - $C_6$ -alkyl substituted by halogen, hydroxyl, or carboxyl,  $C_2$ - $C_6$ -alkenyl, hydroxyl,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_4$ -alkylcarbonyl, toluenesulfonyl, cyano,  $SO_2R_{10}$ ,  $-CO(CH_2)_mR_{14}$  and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy, nitro,  $NR_9R_{10}$ ,  $SR_{11}$ , trifluoromethyl, hydroxyl, cyano, carboxy,  $C_1$ - $C_4$ -alkoxycarbonyl and  $-C_1$ - $C_4$ -alkylenehydroxyl;

Confirm. No. 4710  
516745-2001.1

R<sub>6</sub> is C<sub>1</sub>-C<sub>4</sub>.alkyl, -C<sub>1</sub>-C<sub>4</sub>.alkanoyl, hydroxyl, C<sub>1</sub>-C<sub>4</sub>.alkoxyl, -C<sub>1</sub>-C<sub>4</sub>.alkoxycarbonyl, -C<sub>1</sub>-C<sub>4</sub>.alkyleneOR<sub>11</sub>, -C<sub>1</sub>-C<sub>4</sub>.alkylenehalo, -C<sub>1</sub>-C<sub>4</sub>.alkyleneNR<sub>9</sub>R<sub>10</sub>, -C<sub>1</sub>-C<sub>4</sub>.alkyleneC(O)OR<sub>9</sub>, phenoxy -NR<sub>9</sub>R<sub>10</sub>, SR<sub>12</sub>, S(O)<sub>n</sub>R<sub>12</sub>, -C(O)R<sub>12</sub> or -C(S)R<sub>12</sub>;

R<sub>9</sub> and R<sub>10</sub> are each independently selected from: hydrogen, C<sub>1</sub>-C<sub>4</sub>.alkyl, C<sub>1</sub>-C<sub>4</sub>.alkanoyl, C<sub>1</sub>-C<sub>4</sub>.alkoxycarbonyl, C<sub>1</sub>-C<sub>4</sub>.alkylcarbonyl, carboxamide and sulfonamide;

R<sub>11</sub> is hydrogen, C<sub>1</sub>-C<sub>4</sub>.alkyl, C<sub>1</sub>-C<sub>4</sub>.alkanoyl, or C<sub>1</sub>-C<sub>4</sub>.alkoxycarbonyl;

R<sub>12</sub> is hydrogen, halogen, C<sub>1</sub>-C<sub>4</sub>.alkyl, -NR<sub>9</sub>R<sub>10</sub>, or OR<sub>9</sub>;

R<sub>14</sub> is hydrogen, C<sub>1</sub>-C<sub>4</sub>.alkyl, hydroxyl, -NR<sub>9</sub>R<sub>10</sub>, halogen, -SH, or-S- C<sub>1</sub>-C<sub>4</sub>.alkyl;

m is an integer of 0 to 6; and

n is an integer of 1 or 2.

Claim 9 (original)

9. A compound as claimed in claim 1, wherein R<sub>1</sub> is phenyl or pyridinyl, substituted by 1, 2 or 3 identical or different substituents selected from: halogen and nitro, R<sub>2</sub> and R<sub>4</sub> are hydrogen, R<sub>3</sub> and R<sub>5</sub> are hydroxyl, A is a saturated 5-membered ring represented by any one of the general structures (i) to (v), wherein X<sub>1</sub>, X<sub>2</sub>, R<sub>6</sub> and R<sub>13</sub> are as defined.

Claim 10 (original)

10. A compound as claimed in claim 1, wherein R<sub>1</sub> is phenyl or pyridinyl, substituted by 1, 2 or 3 identical or different substituents selected from: halogen and nitro, R<sub>2</sub> and R<sub>4</sub> are hydrogen, R<sub>3</sub> and R<sub>5</sub> are hydroxyl, A is a saturated 5-membered ring represented by any one of the general structures (i) to (v), wherein X<sub>1</sub> is carbon, X<sub>2</sub> is nitrogen, R<sub>6</sub> is -C<sub>1</sub>-C<sub>4</sub>.alkylenehydroxyl, and R<sub>13</sub> is C<sub>1</sub>-C<sub>4</sub>.alkyl.



Confirm. No. 4710  
516745-2001.1

Claim 11 (currently amended)

11. A compound of the general formula (I<sub>g</sub>) as claimed in claim 5, which is:*(+/-)-trans-2-(2-Chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;**(+)-trans-2-(2-Chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;**(+)-trans-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;**(-)-trans-2-(2-Chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;**(-)-trans-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;**(+)-trans-2-(2-Bromo-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;**(+)-trans-2-(2-Bromo-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;**(+)-trans-2-(4-Bromo-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;**(+)-trans-2-(4-Bromo-phenyl)-5-hydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-7-methoxy-chromen-4-one;**(+)-trans-2-(4-Bromo-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;**(+)-trans-2-(3-Chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;**(+)-trans-2-(3-Chloro-phenyl)-5-hydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-7-methoxy-chromen-4-one;**(+)-trans-2-(3-Chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;**(+)-trans-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(2-iodo-phenyl)-5,7-dimethoxy-chromen-4-one;*

Confirm. No. 4710  
516745-2001.1

(+)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(2-iodo-phenyl)-chromen-4-one;

(+)-*trans*-2-(2-Fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(3-Fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(3-Fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2,6-Difluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2,6-Difluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-4-[8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-4-oxo-4H-chromen-2-yl]-benzonitrile;

(+/-)-*trans*-4-[5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzonitrile;

(+)-*trans*-4-[8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-4-oxo-4H-chromen-2-yl]-benzonitrile;

(+)-*trans*-4-[5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzonitrile;

(+/-)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-(4-trifluoromethyl-phenyl)-chromen-4-one;

(+/-)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(4-trifluoromethyl-phenyl)-chromen-4-one;

(+)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-(4-trifluoromethyl-phenyl)-chromen-4-one;

(+)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(4-trifluoromethyl-phenyl)-chromen-4-one;

Confirm. No. 4710  
516745-2001.1

(-)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-(4-trifluoromethyl-phenyl)-chromen-4-one;  
(-)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(4-trifluoromethyl-phenyl)-chromen-4-one;  
(+)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-phenyl-chromen-4-one;  
(+)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-phenyl-chromen-4-one;  
(+)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-thiophen-2-yl-chromen-4-one;  
(+)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-thiophen-2-yl-chromen-4-one;  
(+)-*trans*-4-[5,7-Dihydroxy-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-3-methyl-benzonitrile;  
(+)-*trans*-4-[8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-4-oxo-4H-chromen-2-yl]-3-methyl-benzonitrile;  
(+/-)-*trans*-2-(2-Bromo-5-methoxy-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;  
(+/-)-*trans*-2-(2-Bromo-5-methoxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;  
(+)-*trans*-2-(2-Bromo-5-methoxy-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;  
(+)-*trans*-2-(2-Bromo-5-methoxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;  
(+/-)-*trans*-2-(2-Bromo-5-hydroxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;  
(+)-*trans*-2-(2-Bromo-5-hydroxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;  
(+/-)-*trans*-2-[(3,5-Bis-trifluoromethyl)-phenyl]-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

Confirm. No. 4710  
516745-2001.1

(+/-)-*trans*-2-[(3,5-Bis-trifluoromethyl)-phenyl]-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Chloro-5-methyl-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Chloro-5-methyl-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Bromo-5-nitro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Bromo-5-nitro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dihydroxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-pyridin-3-yl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-pyridin-3-yl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Bromo-5-nitrophenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dihydroxy-chromen-4-one;

(+)-*trans*-2-(2-Chloro-pyridin-3-yl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-(4-nitrophenyl)-4H-chromen-4-one;

(+/-)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(4-nitrophenyl)-chromen-4-one;

(+/-)-*trans*-2-(4-Aminophenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-(2-methoxy-phenyl)-chromen-4-one;

(+/-)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(2-hydroxy-phenyl)-chromen-4-one;

(+)-*trans*-3-Chloro-4-[8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-4-oxo-4H-chromen-2-yl]-benzonitrile;

Confirm. No. 4710  
516745-2001.1

(+)-*trans*-3-Chloro-4-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzonitrile;

(+)-*trans*-2-(4-Bromo-2-chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(4-Bromo-2-chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-4-dimethylamino-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-4-methylamino-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-4-methoxy-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-4-hydroxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-5-fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-5-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-5-methoxy-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-5-hydroxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-5-methoxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-8-(2-Azidomethyl-1-methyl-pyrrolidin-3-yl)-2-(2-chloro-phenyl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-8-(2-Aminomethyl-1-methyl-pyrrolidin-3-yl)-2-(2-chloro-phenyl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-8-(2-Aminomethyl-1-methyl-pyrrolidin-3-yl)-2-(2-chloro-phenyl)-5,7-dihydroxy-chromen-4-one;

Confirm. No. 4'10  
516745-2001.1

(+/-)-*trans*-3-{{2-(2-Chloro-phenyl)-5,7-dimethoxy-4-oxo-4H-chromen-8-yl}-1-methyl-pyrrolidin-2-yl}-acetonitrile;

(+/-)-*trans*-{3-[2-(2-Chloro-phenyl)-5,7-dihydroxy-4-oxo-4H-chromen-8-yl]-1-methyl-pyrrolidin-2-yl}-acetonitrile;

(+/-)-*trans*-2-[2-Chloro-phenyl-8-(2-mercaptomethyl-1-methyl-pyrrolidin-3-yl)]-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-(2-mercaptomethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*- Acetic acid 3-{2-(2-chloro-phenyl)-5,7-dimethoxy-4-oxo-4H-chromen-8-yl]-1-(4-methoxy-phenyl)-pyrrolidin-2-ylmethyl ester;

(+/-)-*trans*-2-(2-Chloro-phenyl)-8-[2-hydroxymethyl-1-(4-methoxy-phenyl)-pyrrolidin-3-yl]-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-[2-hydroxymethyl-1-(4-methoxy-phenyl)-pyrrolidin-3-yl]-chromen-4-one;

(+/-)-*trans*-Acetic acid-3-[2-(2-chloro-phenyl)-5,7-dimethoxy-4-oxo-4H-chromen-8-yl]-1-propyl-pyrrolidin-2-ylmethyl ester;

(+/-)-*trans*-2-(2-Chloro-phenyl)-8-(2-hydroxymethyl-1-propyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-propyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-4-nitro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Bromo-4-nitro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-3-Chloro-4-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzoic acid;

(+/-)-*trans*-3-Bromo-4-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzoic acid;

(+/-)-*trans*-2-(2-Chloro-4-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

Confirm. No. 4710  
516745-2001.1

(+/-)-*trans*-2-(4-Amino-2-chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Bromo-4-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(4-Amino-2-bromo-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-4-Chloro-3-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzoic acid;

(+/-)-*trans*-4-Bromo-3-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzoic acid;

(+/-)-*trans*-4-Bromo-3-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-N-hydroxy-benzamide;

(+/-)-*trans*-4-Chloro-3-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-N-hydroxy-benzamide;

(+/-)-*trans*-3-Chloro-4-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-N-hydroxy-benzamide;

(+/-)-*trans*-3-Bromo-4-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-N-hydroxy-benzamide; or

(+/-)-*trans*-2-(2,4-Difluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Chloro-3-fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Chloro-3-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Bromo-3-fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Bromo-3-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Bromo-5-fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

Confirm. No. 4710  
516745-2001.1

(+)-*trans*-2-(2-Bromo-5-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;  
(+)-*trans*-2-(2-Chloro-5-iodo-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;  
(+)-*trans*-2-(2-Chloro-5-iodo-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;  
(+)-*trans*-2-(2-Bromo-5-chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;  
(+)-*trans*-2-(2-Bromo-5-chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;  
(+/-)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-1-oxy-pyrrolidin-3-yl)-chromen-4-one;  
(+)-*trans*-2-(2-Bromo-4-nitro-phenyl)-8-(2-hydroxymethyl-1-methylpyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;  
(+)-*trans*-2-(2-Bromo-4-nitro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;  
(+)-*trans*-2-(4-Amino-2-bromo-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;  
(+)-*trans*-2-(4-Amino-2-bromo-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;  
(+)-*trans*-2-(2-Bromo-4-methoxy-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;  
(+)-*trans*-2-(2-Bromo-4-methoxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;  
(+)-*trans*-2-(2-Bromo-4-hydroxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;  
(+)-*trans* -Acetic acid 8-(2-acetoxymethyl-1-methyl-pyrrolidin-3-yl)-5-hydroxy-2-(4-nitro-phenyl)-4-oxo-4H-chromen-7-yl ester;  
(+)-*trans*-2-(2,4-Dichloro-5-fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one; or



Confirm. No. 4710  
516745-2001.1

(+)-*trans*-2-(2,4-Dichloro-5-fluoro-phenyl-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one.

Claim 12 (previously presented)

12. A pharmaceutical composition for the treatment of a disease or disorder mediated by inhibition of cyclin dependent kinase, comprising a therapeutically effective amount of a compound of general formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1, and a pharmaceutically acceptable carrier.

Claim 13 (previously presented)

13. A pharmaceutical composition for the treatment of a disease or a disorder mediated by inhibition of cyclin dependent kinase, comprising a therapeutically effective amount of a compound of general formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1, and at least one further pharmaceutically active compound, together with a pharmaceutically acceptable carrier.

Claim 14 (previously presented)

14. A method for the treatment of a disease or a disorder mediated by inhibition of cyclin dependent kinase to a patient in need thereof, comprising administering an effective amount of a compound of the formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1.

Claim 15 (previously presented)

15. A method for the treatment of a disease or a disorder associated with excessive cell proliferation in a mammal in need thereof, comprising administering to said mammal a therapeutically effective amount of the compound of the formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1.

Confirm. No. 4'10  
516745-2001.1

Claim 16 (previously presented)

16. The method of claim 14, wherein the disease or disorder mediated by inhibition of cyclin dependent kinase is cancer.

Claim 17 (previously presented)

17. The method of claim 15, wherein the disease or disorder associated with excessive cell proliferation is cancer.

Claim 18 (currently amended)

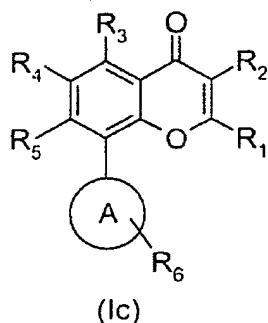
18. The method of claim 16, wherein the cancer is selected from the group consisting of cervical, breast, prostate, lung and ~~hystiolytic~~ histiocytic lymphoma and ~~breast cancer~~.

Claim 19 (currently amended)

19. The method of claim 17, wherein the cancer is selected from the group consisting of cervical, breast, prostate, lung and ~~hystiolytic~~ histiocytic lymphoma and ~~breast cancer~~.

Claim 20 (original)

20. A process for the preparation of a compound of general formula (Ic), as claimed in claim 1, or a pharmaceutically acceptable salt thereof:

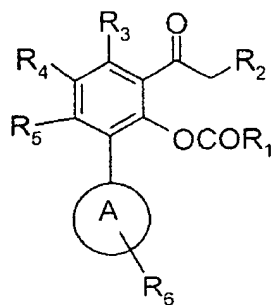


wherein

$R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and A are as defined,

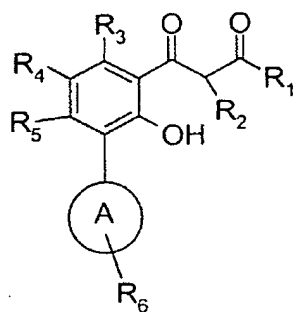
which process comprises reacting a compound of formula (XA):

Confirm. No. 4'10  
516745-2001.1



XA

or a compound of formula (XIIA):



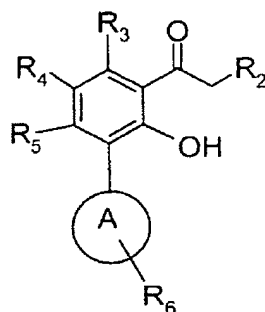
XII A

wherein in each case  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and A are as defined, with an organic or inorganic base, subsequently adding an acid to the reaction mixture capable of effecting cyclization, then adding an organic or inorganic base, and, if appropriate, converting the compound of formula (Ic) into a pharmaceutically acceptable salt.

Claim 21 (original)

21. A process according to claim 20, wherein the compound of formula (XIIA) is obtained by reacting a compound of formula (XIA)

Confirm. No. 4710  
516745-2001.1

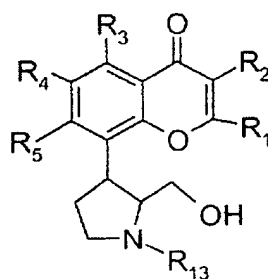


XIA

wherein  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $A$  are as defined above, with a carboxylic acid ester, an acid halide, or an activated ester in the presence of an organic or inorganic base in organic or inorganic solvent.

Claim 22 (original)

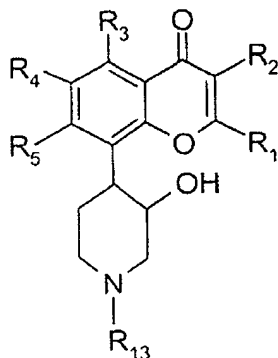
22. A process for the preparation of a compound of formula (XIIIA) or a pharmaceutically acceptable salt thereof:



XIIIA

wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$  and  $R_{13}$  are as defined in claim 1, comprising reacting a compound of formula (VIIA)

Confirm. No. 4710  
516745-2001.1



VII A

wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$  and  $R_{13}$  are as defined in claim 1, with a reagent suitable to effect replacement of the  $-OH$  group on the piperidino ring by a leaving group, in the presence of an organic or inorganic base, followed by adding a suitable organic base in the presence of a suitable organic solvent to effect contraction of the piperidino ring, and, if appropriate, converting the resultant compound of formula (XIII) into a pharmaceutically acceptable salt.

Claim 23 (cancelled).

Claim 24 (previously presented)

24. The compound of claim 4, wherein  $R_{11}$  is hydrogen.

Claim 25 (previously presented)

25. A pharmaceutical composition for the treatment of a disease or a disorder, associated with excessive cell proliferation, comprising a therapeutically effective amount of a compound of general formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1, and a pharmaceutically acceptable carrier.

Claim 26 (previously presented)

26. A pharmaceutical composition for the treatment of a disease or a disorder associated with excessive cell proliferation, comprising a therapeutically effective amount of a compound of

Confirm. No. 4710  
516745-2001.1

general formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1, and at least one further pharmaceutically active compound, together with a pharmaceutically acceptable carrier.